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Synthesis and Herbicidal Activity of New Pyrazole-4-carboxamide Derivatives

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Abstract:
A series of novel 3-(substituted alkoxy)pyrazole-4-carboxamide derivatives were synthesized, and their herbicidal activity against various weeds and crop safety were examined under flooded conditions. The herbicidal activity was primarily influenced by the substituent at the 3-position of the pyrazole ring. The benzyloxy group, the *meta*-position of which was substituted with an electron-withdrawing group, particularly with a trifluoromethyl group, was most efficient in enhancing the bleaching activity. The level of activity also varied with the *N*-substituent of the carbamoyl group, with *N*-ethoxycarbamoyl group providing the best combination of herbicidal activity and selectivity. Among the compounds synthesized, *N*-ethoxy-1-methyl-3-(3-trifluoromethylbenzyloxy)pyrazole-4-carboxamide (KPP-297), which showed good herbicidal activity against various annual lowland weeds and excellent crop safety at just of 100 g a.i./ha, was considered to be the most promising rice herbicide.

Keywords:
pyrazole-4-carboxamide, synthesis, herbicidal activity, rice injury, structure-activity relationships

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